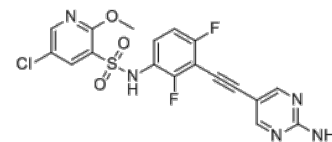


**Product Name** : GCN2iB  
**Cat. No.** : PC-20012  
**CAS No.** : 2183470-12-2  
**Molecular Formula** : C<sub>18</sub>H<sub>12</sub>ClF<sub>2</sub>N<sub>5</sub>O<sub>3</sub>S  
**Molecular Weight** : 451.83  
**Target** : Other Targets  
**Solubility** : 10 mM in DMSO



## Biological Activity

GCN2iB (GCN2 inhibitor) is a potent, selective and ATP-competitive **GCN2** inhibitor with IC<sub>50</sub> of 2.4 nM.

GCN2iB displayed high selectivity against a panel of 468 kinases, only GCN2 showed >99.5% inhibition, and three kinases (MAP2K5, STK10, and ZAK) showed >95% inhibition at 1 μM.

GCN2iB exhibited in vitro antiproliferative effects in combination with ASNase against GCN2-WT MEF cells, but not against GCN2-KO MEF cells.

GCN2iB suppressed GCN2 pathway activation in xenograft models, a combination of L-asparaginase (ASNase) and GCN2iB elicited potent antitumor activity in CCRF-CEM xenografts and ALL xenograft model (MOLT-3) without severe body weight loss, but not ASNase or GCN2iB alone treatment.

The combination of ASNase treatment with GCN2 inhibition synergistically blocks in vivo tumor growth in ALL, AML, and pancreatic xenograft models.

## References

Akito Nakamura, et al. *Proc Natl Acad Sci U S A*. 2018 Aug 14;115(33):E7776-E7785.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

E-mail: tech@probechem.com